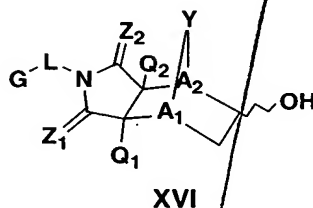


## Claims

We claim:

- 5 1. A method for preparation of a compound of the following formula XVI, or salt thereof:



- 10 where  
 G is an aryl or heterocyclo group, where said group is mono- or polycyclic, and which  
 is optionally substituted at one or more positions;  
 $Z_1$  is O, S, NH, or  $NR^6$ ;  
 $Z_2$  is O, S, NH, or  $NR^6$ ;  
 $A_1$  is  $CR^7$  or N;  
 15  $A_2$  is  $CR^7$  or N;  
 $Y$  is  $J-J'-J''$  where J is  $(CR^7R^{7'})_n$  and  $n=0-3$ , J' is O, S, S=O,  $SO_2$ , NH,  $NR^7$ ,  
 $OP=OOR^2$ ,  $OC=O$ ,  $NR^1C=O$ ,  $OP=ONHR^2$ ,  $OSO_2$ ,  $NHNH$ ,  $NHNR^6$ ,  $NR^6NH$ ,  
 or  $N=N$ , and J'' is  $(CR^7R^{7'})_n$  and  $n=0-3$ ;  
 $Q_1$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, cycloalkyl or  
 20 substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl,  
 heterocycloalkyl or substituted heterocycloalkyl, arylalkyl or substituted  
 arylalkyl, alkynyl or substituted alkynyl, aryl or substituted aryl, heterocyclo or  
 substituted heterocyclo, halo, CN,  $R^1OC=O$ ,  $R^4C=O$ ,  $R^5R^6NC=O$ ,  $HO-CR^7R^{7'}$ ,  
 nitro,  $R^1OCH_2$ ,  $R^1O$ ,  $NH_2$ ,  $C=OSR^1$ ,  $SO_2R^1$  or  $NR^4R^5$ ;  
 25  $Q_2$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, cycloalkyl or  
 substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl,  
 heterocycloalkyl or substituted heterocycloalkyl, arylalkyl or substituted  
 arylalkyl, alkynyl or substituted alkynyl, aryl or substituted aryl, heterocyclo or

substituted heterocyclo, halo, CN,  $R^1OC=O$ ,  $R^4C=O$ ,  $R^5R^6NC=O$ ,  $HO-CR^7R^7'$ ,  
 nitro,  $R^1OCH_2$ ,  $R^1O$ ,  $NH_2$ ,  $C=OSR^1$ ,  $SO_2R^1$  or  $NR^4R^5$ ;

L is a bond,  $(CR^7R^7')_n$ ,  $NH$ ,  $NR^5$  or  $NR^5(CR^7R^7')_n$ , where  $n = 0-3$ ;

$R^1$  and  $R^1'$  are each independently H, alkyl or substituted alkyl, alkenyl or substituted  
 5 alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl,  
 cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted  
 heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or  
 substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl,  
 aryl or substituted aryl, arylalkyl or substituted arylalkyl;

10  $R^2$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted  
 alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted  
 cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or  
 substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl,  
 heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl,  
 15 arylalkyl or substituted arylalkyl;

$R^4$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or  
 substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or  
 substituted cycloalkenyl, heterocyclo or substituted heterocyclo,  
 cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted  
 20 cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or  
 substituted aryl, arylalkyl or substituted arylalkyl,  $R^1C=O$ ,  $R^1NHC=O$ ,  
 $SO_2OR^1$ , or  $SO_2NR^1R^1'$ ;

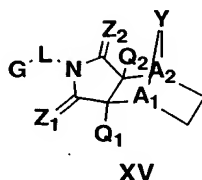
$R^5$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted  
 alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted  
 25 cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or  
 substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl,  
 heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl,  
 arylalkyl or substituted arylalkyl,  $R^1C=O$ ,  $R^1NHC=O$ ,  $SO_2R^1$ ,  $SO_2OR^1$ , or  
 $SO_2NR^1R^1'$ ;

30  $R^6$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted  
 alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted

cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl, CN, OH, OR<sup>1</sup>, R<sup>1</sup>C=O, R<sup>1</sup>NHC=O, SO<sub>2</sub>R<sup>1</sup>, SO<sub>2</sub>OR<sup>1</sup>, or SO<sub>2</sub>NR<sup>1</sup>R<sup>1'</sup>; and

R<sup>7</sup> and R<sup>7'</sup> are each independently H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl, halo, CN, OR<sup>1</sup>, nitro, hydroxylamine, hydroxylamide, amino, NHR<sup>4</sup>, NR<sup>2</sup>R<sup>5</sup>, NOR<sup>1</sup>, thiol, alkylthio or substituted alkylthio, R<sup>1</sup>C=O, R<sup>1</sup>(C=O)O, R<sup>1</sup>OC=O, R<sup>1</sup>NHC=O, SO<sub>2</sub>R<sup>1</sup>, SOR<sup>1</sup>, PO<sub>3</sub>R<sup>1</sup>R<sup>1'</sup>, R<sup>1</sup>R<sup>1'</sup>NC=O, C=OSR<sup>1</sup>, SO<sub>2</sub>R<sup>1</sup>, SO<sub>2</sub>OR<sup>1</sup>, or SO<sub>2</sub>NR<sup>1</sup>R<sup>1'</sup>;

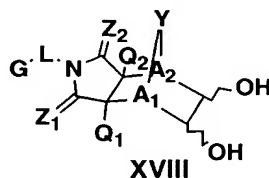
comprising the steps of contacting a compound of the following formula XV, or salt thereof:



where the symbols are as defined above;

with an enzyme or microorganism capable of catalyzing the hydroxylation of said compound XV to said compound XVI, and effecting said hydroxylation.

2. A method for preparation of a compound of the following formula XVIII, or salt thereof:



where

G is an aryl or heterocyclo group, where said group is mono- or polycyclic, and which is optionally substituted at one or more positions;

5  $Z_1$  is O, S, NH, or  $NR^6$ ;

$Z_2$  is O, S, NH, or  $NR^6$ ;

$A_1$  is  $CR^7$  or N;

$A_2$  is  $CR^7$  or N;

$Y'$  is J-J'-J'' where J is  $(CR^7R^{7'})_n$  and  $n = 0-3$ , J' is O, S, S=O,  $SO_2$ , NH,  $NR^7$ ,

10  $OP=OOR^2$ ,  $OC=O$ ,  $NR^1C=O$ ,  $OP=ONHR^2$ ,  $OSO_2$ ,  $NHNH$ ,  $NHNR^6$ ,  $NR^6NH$ , or  $N=N$ , and J'' is  $(CR^7R^{7'})_n$  and  $n = 0-3$ ;

$Q_1$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl,

15 heterocycloalkyl or substituted heterocycloalkyl, arylalkyl or substituted arylalkyl, alkynyl or substituted alkynyl, aryl or substituted aryl, heterocyclo or substituted heterocyclo, halo, CN,  $R^1OC=O$ ,  $R^4C=O$ ,  $R^5R^6NC=O$ ,  $HO-CR^7R^{7'}$ , nitro,  $R^1OCH_2$ ,  $R^1O$ ,  $NH_2$ ,  $C=OSR^1$ ,  $SO_2R^1$  or  $NR^4R^5$ ;

$Q_2$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl,

20 heterocycloalkyl or substituted heterocycloalkyl, arylalkyl or substituted arylalkyl, alkynyl or substituted alkynyl, aryl or substituted aryl, heterocyclo or substituted heterocyclo, halo, CN,  $R^1OC=O$ ,  $R^4C=O$ ,  $R^5R^6NC=O$ ,  $HO-CR^7R^{7'}$ , nitro,  $R^1OCH_2$ ,  $R^1O$ ,  $NH_2$ ,  $C=OSR^1$ ,  $SO_2R^1$  or  $NR^4R^5$ ;

L is a bond,  $(CR^7R^{7'})_n$ , NH,  $NR^5$  or  $NR^5(CR^7R^{7'})_n$ , where  $n = 0-3$ ;

25  $R^1$  and  $R^{1'}$  are each independently H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl,

30 aryl or substituted aryl, arylalkyl or substituted arylalkyl;

$R^2$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted

cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl;

5  $R^4$  is H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl,  $R^1C=O$ ,  $R^1NHC=O$ ,  $SO_2OR^1$ , or  $SO_2NR^1R^{1'}$ ;

10  $R^5$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl,  $R^1C=O$ ,  $R^1NHC=O$ ,  $SO_2R^1$ ,  $SO_2OR^1$ , or  $SO_2NR^1R^{1'}$ ;

15  $R^6$  is alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl, CN, OH,  $OR^1$ ,  $R^1C=O$ ,  $R^1NHC=O$ ,  $SO_2R^1$ ,  $SO_2OR^1$ , or  $SO_2NR^1R^{1'}$ ; and

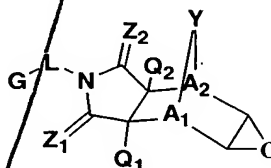
20  $R^7$  and  $R^{7'}$  are each independently H, alkyl or substituted alkyl, alkenyl or substituted alkenyl, alkynyl or substituted alkynyl, cycloalkyl or substituted cycloalkyl, cycloalkenyl or substituted cycloalkenyl, heterocyclo or substituted heterocyclo, cycloalkylalkyl or substituted cycloalkylalkyl, cycloalkenylalkyl or substituted cycloalkenylalkyl, heterocycloalkyl or substituted heterocycloalkyl, aryl or substituted aryl, arylalkyl or substituted arylalkyl,

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halo, CN, OR<sup>1</sup>, nitro, hydroxylamine, hydroxylamide, amino, NHR<sup>4</sup>, NR<sup>2</sup>R<sup>5</sup>, NOR<sup>1</sup>, thiol, alkylthio or substituted alkylthio, R<sup>1</sup>C=O, R<sup>1</sup>(C=O)O, R<sup>1</sup>OC=O, R<sup>1</sup>NHC=O, SO<sub>2</sub>R<sup>1</sup>, SOR<sup>1</sup>, PO<sub>3</sub>R<sup>1</sup>R<sup>1'</sup>, R<sup>1</sup>R<sup>1'</sup>NC=O, C=OSR<sup>1</sup>, SO<sub>2</sub>R<sup>1</sup>, SO<sub>2</sub>OR<sup>1</sup>, or SO<sub>2</sub>NR<sup>1</sup>R<sup>1'</sup>;

- 5 comprising the steps of contacting a compound of the following formula XVII, or salt thereof:



XVII

where the symbols are as defined above;

- 10 with an enzyme or microorganism capable of catalyzing the opening of the epoxide ring of compound XVII to form the diol of said compound XVIII, and effecting said ring opening and diol formation.